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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  JAN 17  Pre-1988 INPI data added to MARPAT
 NEWS  4  FEB 21  STN AnaVist, Version 1.1, lets you share your STN AnaVist
                  visualization results
 NEWS  5  FEB 22  The IPC thesaurus added to additional patent databases on STN
 NEWS  6  FEB 22  Updates in EPFULL; IPC 8 enhancements added
 NEWS  7  FEB 27  New STN AnaVist pricing effective March 1, 2006
 NEWS  8  MAR 03  Updates in PATDPA; addition of IPC 8 data without attributes
 NEWS  9  MAR 22  EMBASE is now updated on a daily basis
 NEWS 10  APR 03  New IPC 8 fields and IPC thesaurus added to PATDPAFULL
 NEWS 11  APR 03  Bibliographic data updates resume; new IPC 8 fields and IPC
                  thesaurus added in PCTFULL
 NEWS 12  APR 04  STN AnaVist $500 visualization usage credit offered
 NEWS 13  APR 12  LINSPEC, learning database for INSPEC, reloaded and enhanced
 NEWS 14  APR 12  Improved structure highlighting in FQHIT and QHIT display
                  in MARPAT
 NEWS 15  APR 12  Derwent World Patents Index to be reloaded and enhanced during
                  second quarter; strategies may be affected
 NEWS 16  MAY 10  CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS 17  MAY 11  KOREAPAT updates resume
 NEWS 18  MAY 19  Derwent World Patents Index to be reloaded and enhanced
 NEWS 19  MAY 30  IPC 8 Rolled-up Core codes added to CA/CAplus and
                  USPATFULL/USPAT2
 NEWS 20  MAY 30  The F-Term thesaurus is now available in CA/CAplus
 NEWS 21  JUN 02  The first reclassification of IPC codes now complete in
                  INPADOC

 NEWS EXPRESS  JUNE 16 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 23 MAY 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 21:22:26 ON 16 JUN 2006
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=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                             ENTRY    SESSION
FULL ESTIMATED COST                                           0.21       0.21

FILE 'REGISTRY' ENTERED AT 21:22:31 ON 16 JUN 2006
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STRUCTURE FILE UPDATES:   15 JUN 2006  HIGHEST RN 887970-41-4
DICTIONARY FILE UPDATES:  15 JUN 2006  HIGHEST RN 887970-41-4

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
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on property searching in REGISTRY, refer to:

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Uploading C:\Program Files\Stnexp\Queries\10705926d.str
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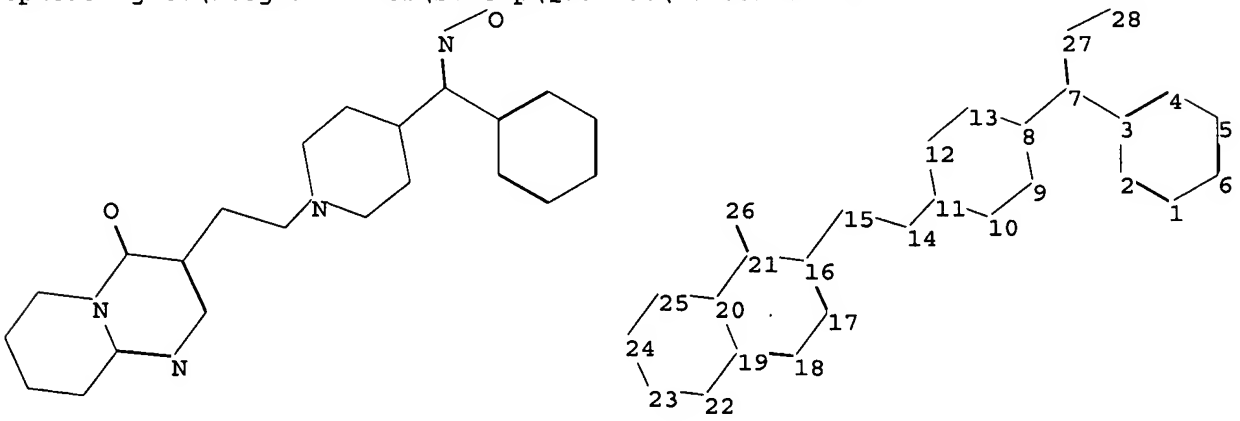


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7  14  15  26  27  28
ring nodes :
1  2  3  4  5  6  8  9  10  11  12  13  16  17  18  19  20  21  22  23  24  25
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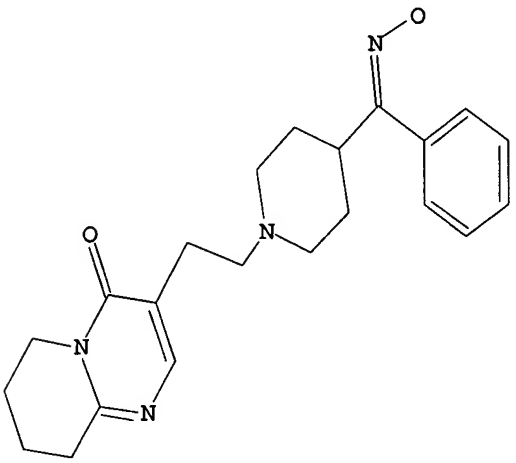
chain bonds :
3-7 7-8 7-27 11-14 14-15 15-16 21-26 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 16-17 16-21
17-18 18-19 19-20 19-22 20-21 20-25 22-23 23-24 24-25
exact/norm bonds :
7-27 8-9 8-13 9-10 10-11 11-12 11-14 12-13 16-17 16-21 17-18 18-19
19-20 19-22 20-21 20-25 21-26 22-23 23-24 24-25 27-28
exact bonds :
3-7 7-8 14-15 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 21:22:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l2 full
FULL SEARCH INITIATED 21:22:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

L3 5 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 21:23:04 ON 16 JUN 2006
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FILE COVERS 1907 - 16 Jun 2006 VOL 144 ISS 26
FILE LAST UPDATED: 15 Jun 2006 (20060615/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 5 L3

=> d l4 ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:927203 CAPLUS
DOCUMENT NUMBER: 141:400904
TITLE: Risperidone monohydrochloride
INVENTOR(S): Bartl, Jiri; Gieling, Reinerus Gerardus
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094415	A1	20041104	WO 2004-EP4129	20040415

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1615923	A1	20060118	EP 2004-727562	20040415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2004266790	A1	20041230	US 2004-825683	20040416
US 2004266791	A1	20041230	US 2004-825684	20040416
NO 2005005490	A	20060123	NO 2005-5490	20051121
PRIORITY APPLN. INFO.:			US 2003-464364P P	20030422
			WO 2004-EP4129 W	20040415

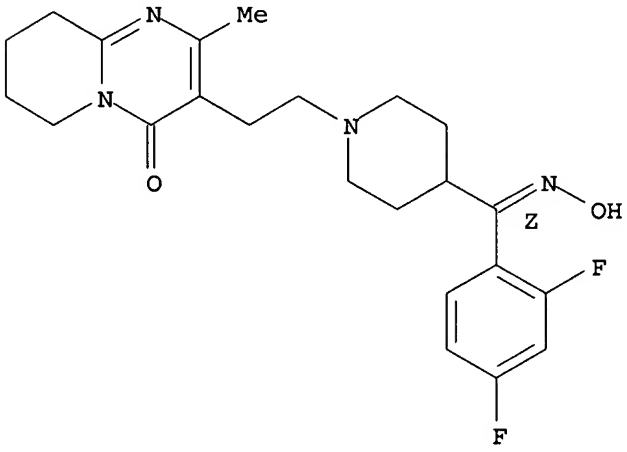
AB Hydrochloride salts of risperidone have been found to have useful properties. A preferred form is crystalline risperidone monohydrochloride hemipentahydrate. The monohydrochloride salts can be used in pharmaceutical compns. and methods such as for use in treating psychotic disorders.

IT **132961-05-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(risperidone monohydrochloride)

RN 132961-05-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:414637 CAPLUS
DOCUMENT NUMBER:	140:423697
TITLE:	Process for making risperidone and intermediates therefor
INVENTOR(S):	Slanina, Pavel; Bartl, Jiri
PATENT ASSIGNEE(S):	Czech Rep.
SOURCE:	U.S. Pat. Appl. Publ., 12 pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097523	A1	20040520	US 2003-705926	20031113
WO 2004043923	A1	20040527	WO 2003-EP12504	20031107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003288017	A1	20040603	AU 2003-288017		20031107
EP 1560814	A1	20050810	EP 2003-779870		20031107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1720228	A	20060111	CN 2003-80104962		20031107
NO 2005002859	A	20050805	NO 2005-2859		20050613
PRIORITY APPLN. INFO.:			US 2002-425727P	P	20021113
			WO 2003-EP12504	W	20031107

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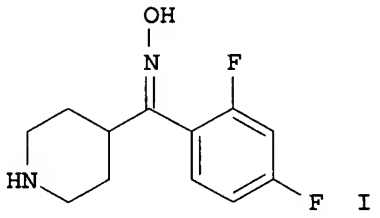

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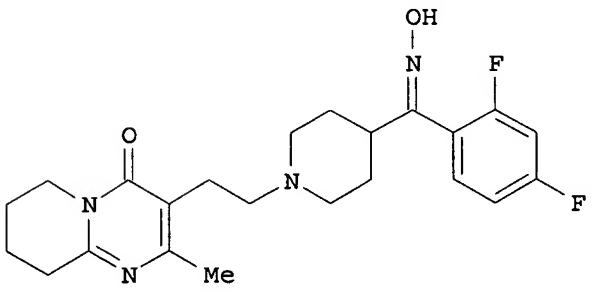


II

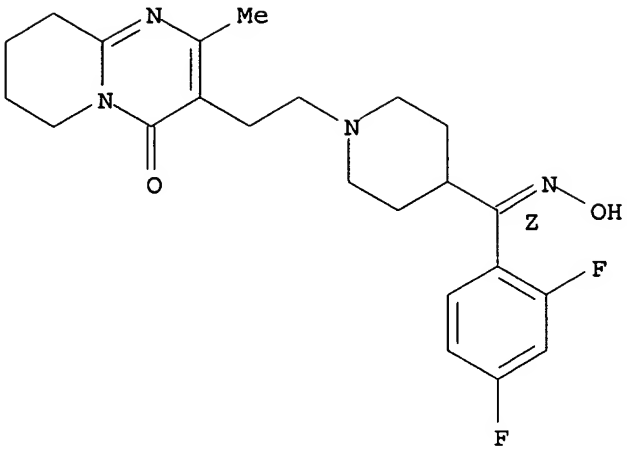
AB The formation of risperidone is enhanced by the use of enriched Z-isomer oxime intermediate(s) I or II. The oxime(s) can be isomerically enriched by a variety of techniques including the use of the novel acetic acid salt thereof, which affords, inter alia, resolution of the isomers and/or by heat conversion. Thus, reacting 4-(2,4-difluorobenzoyl)piperidine.HCl with H2NOH.HCl followed by treatment with AcOH afforded (Z)-I.AcOH which was then converted to (Z)-I free base. The latter was reacted with 3-(2-chloroethyl)-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one hydrochloride to provide (Z)-II. Cyclization of (Z)-II afforded 95% risperidone.

IT **132961-05-8P 691007-09-7P 691007-10-0P 691007-11-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for making risperidone by cyclization of enriched Z-isomer oxime)

RN 132961-05-8 CAPLUS

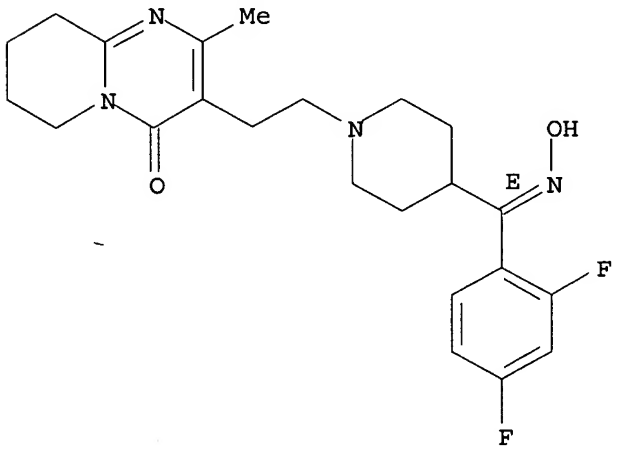
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 691007-09-7 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

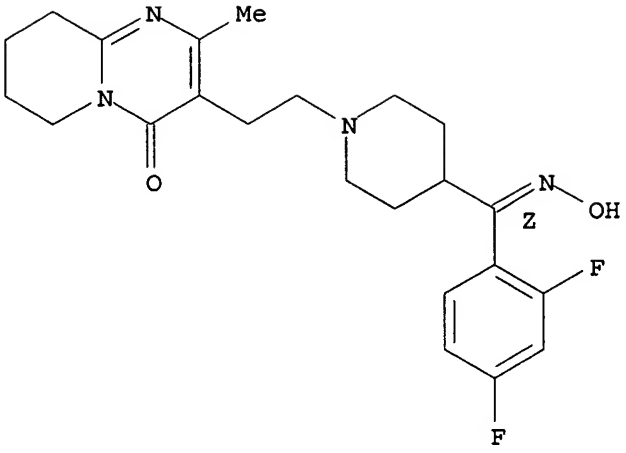


RN 691007-10-0 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

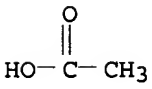
CRN 132961-05-8
CMF C23 H28 F2 N4 O2

Double bond geometry as shown.



CM 2

CRN 64-19-7
CMF C2 H4 O2

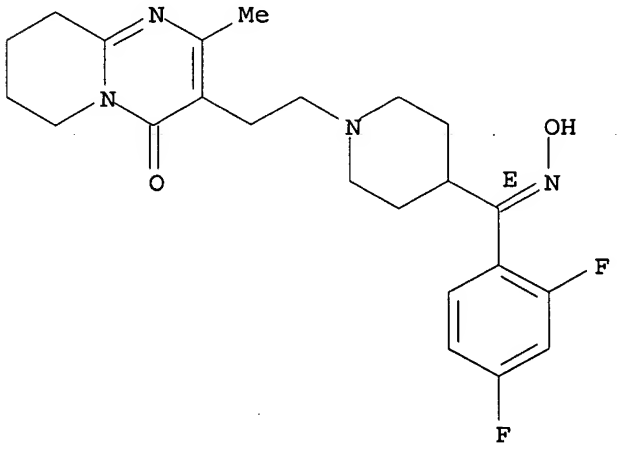


RN 691007-11-1 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 691007-09-7
CMF C23 H28 F2 N4 O2

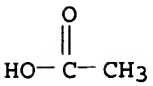
Double bond geometry as shown.



CM 2

CRN 64-19-7

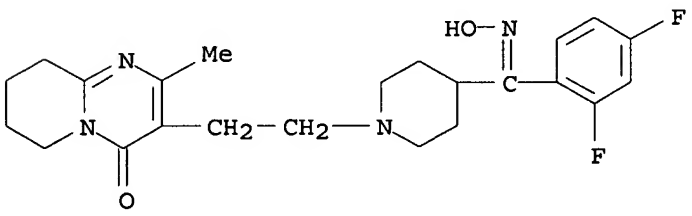
CMF C2 H4 O2



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:80688 CAPLUS
DOCUMENT NUMBER: 140:111428
TITLE: Preparation of antipsychotic risperidone
INVENTOR(S): Meenakshisunderam, Sivakumaran; Rama, Shankar; Chetan, Pandit
PATENT ASSIGNEE(S): Aurobindo Pharma Ltd., India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009591	A1	20040129	WO 2003-IN207	20030602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237597	A1	20040209	AU 2003-237597	20030602
PRIORITY APPLN. INFO.:			IN 2002-MA545 A	20020722
			WO 2003-IN207 W	20030602

OTHER SOURCE(S): CASREACT 140:111428
AB The title compound is prepared by reaction of 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido-[1,2-a]pyrimidin-4-one with 4-(2,4-difluorobenzoyl)piperidine oxime to form oxime; and in situ cyclization of oxime to form risperidone in solvent acetonitrile, N,N-dimetylformamide or Me iso-Bu ketone.
IT **158697-66-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antipsychotic risperidone)
RN 158697-66-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:396885 CAPLUS
DOCUMENT NUMBER: 138:401742
TITLE: Improved process for the preparation of 3-{2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl}-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (Risperidone)
INVENTOR(S): Pongo, Laszlo; Reiter, Jozsef; Simig, Gyula; Berecz, Gabor; Clementis, Gyorgy; Slegel, Peter; Szilagyi, Janos; Koncz, Laszlo; Vereczkeyne Donath, Gyorgyi; Nagy, Kalman; Koertvelyessy, Gyulane
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003042212	A1	20030522	WO 2002-HU120		20021113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1461338	A1	20040929	EP 2002-803068		20021113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005513019	T2	20050512	JP 2003-544048		20021113
BG 108757	A	20050331	BG 2004-108757		20040611
US 2005004141	A1	20050106	US 2004-495362		20040820
PRIORITY APPLN. INFO.:			HU 2001-4873	A	20011113
			WO 2002-HU120	W	20021113

OTHER SOURCE(S): CASREACT 138:401742; MARPAT 138:401742
GI

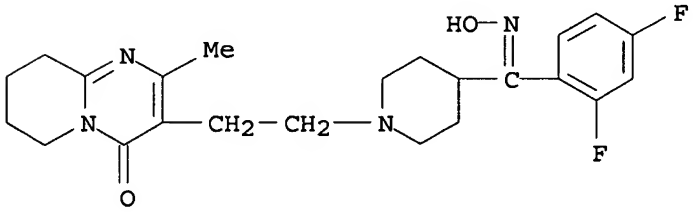
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for the preparation of risperidone I, well-known antipsychotic agent, and pharmaceutically acceptable acid addition salts thereof by subjecting the oxime II to ring-closure in the presence of an alkali hydroxide, alkali carbonate or alkali alkoxide in an inert organic solvent, converting the base I thus obtained into an acid addition salt or setting free the base I from an acid addition salt thereof which comprises reacting a halogen derivative III (wherein Hal = halogen) with piperidine oxime derivative IV, or an acid addition salt thereof in the presence of a base, and using by the ring-closure of the oxime II formed a alkanol as inert solvent. The process of the present invention enables the economical preparation of a product having a purity suitable for pharmaceutical purposes.
IT **158697-66-6P**, 3-[2-[4-[(2,4-Difluorophenyl)-(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-

4-one
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:655824 CAPLUS

DOCUMENT NUMBER: 121:255824

TITLE: Process for preparation of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidino]ethyl]-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one [risperidone]

INVENTOR(S): Marquillas Olondriz, Francisco; Bosch Rovira, Anna; Dalmases Barjoan, Pere; Caldero Ges, Jose Maria

PATENT ASSIGNEE(S): Vita-Invest, S.A., Spain

SOURCE: Span., 7 pp.
CODEN: SPXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

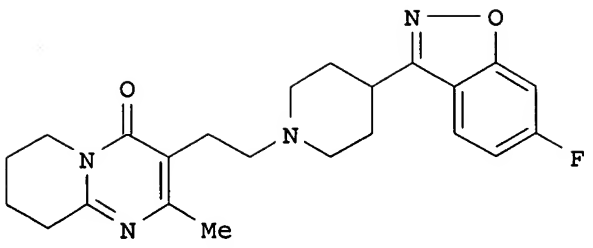
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2050069	A1	19940501	ES 1992-1424	19920710
ES 2050069	B1	19941216		

PRIORITY APPLN. INFO.: ES 1992-1424 19920710

OTHER SOURCE(S): CASREACT 121:255824

GI



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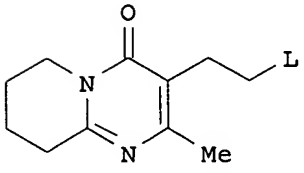

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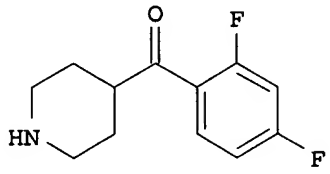
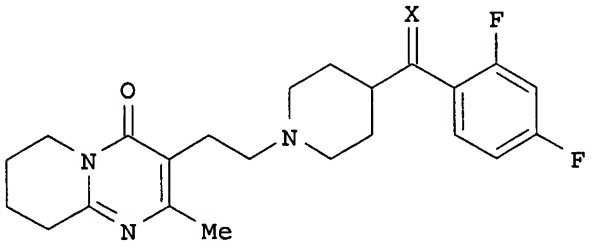


III



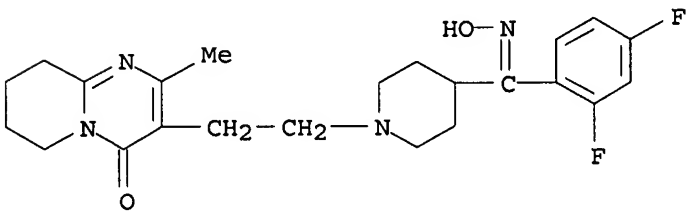
IV

AB Title compound I, i.e. the antipsychotic risperidone, is prepared in 3 steps: (1) condensation of pyridopyrimidine derivs. II [L = leaving group such as halo, alkyl- or arylsulfonyl (sic)] with (difluorobenzoyl)piperidine III; (2) oximation of the resultant compound IV (X = O) with NH2OH.HCl; and (3) cyclization of the oxime IV (X = NOH) under basic conditions. In a series of examples, II (L = Cl) was prepared in 3 steps and III.HCl was prepared in 4 steps. Reaction of these 2 compds. in refluxing MeCN in the presence of NaHCO3 and KI gave after workup 63.1% IV.2HCl (X = O). Oximation of this with NH2OH.HCl in refluxing pyridine-EtOH mixture containing KOH gave 76.2% IV (X = NOH). Cyclization of the oxime using NaH in refluxing THF (84.7%) or refluxing aqueous KOH (78.7%) gave I.

IT **158697-66-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclization; preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.01	193.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

STN INTERNATIONAL LOGOFF AT 21:23:33 ON 16 JUN 2006